

Ref #	Hits	Search Query	DBs	Default Operat or	Plura ls	Time Stamp
L1	4355	(paclitaxel or taxane or docetaxel or taxol or taxotere) and (analog or analogue or alternative) and design	US-PGPU B; USPAT	OR	ON	2004/10/15 09:07
L2	438	(paclitaxel or taxane or docetaxel or taxol or taxotere) and (synthetic adj2 (analog or analogue or alternative)) and design	US-PGPU B; USPAT	OR	ON	2004/10/15 09:27
L3	1	("6593374").PN.	US-PGPU B; USPAT	OR	OFF	2004/10/15 09:26
L4	132	(paclitaxel or taxane or docetaxel or taxol or taxotere) and (synthetic adj2 (derivative)) and design	US-PGPU B; USPAT	OR	ON	2004/10/15 09:31
L5	118	4 not 2	US-PGPU B; USPAT	OR	ON	2004/10/15 09:27
L6	551	(paclitaxel or taxane or docetaxel or taxol or taxotere) and drug adj design and computer	US-PGPU B; USPAT	OR	ON	2004/10/15 09:31
L10	6707	((703/1-11) or (702/19-29)).CCLS.	US-PGPU B; USPAT	OR	OFF	2004/10/15 09:33
L11	4	l6 and l10	US-PGPU B; USPAT	OR	OFF	2004/10/15 09:34
L12	45	l1 and l10	US-PGPU B; USPAT	OR	OFF	2004/10/15 09:34

=> d his

(FILE 'HOME' ENTERED AT 08:22:33 ON 15 OCT 2004)

INDEX 'ADISCTI, ADISINSIGHT, ADISNEWS, AGRICOLA, AQUALINE, ANABSTR, ANTE, AQUASCI, BIOBUSINESS, BIOCOMMERCE, BIOENG, BIOSIS, BIOTECHABS, BIOTECHDS, BIOTECHNO, CABA, CANCERLIT, CAPLUS, CEABA-VTB, CEN, CIN, CONFSCI, CROPB, CROPU, DISSABS, DDFB, DDFU, DGENE, ...' ENTERED AT 08:22:52 ON 15 OCT 2004  
SEA (PACLITAXEL OR TAXANE) AND (DESIGN OR MODEL OR MODELING) AN

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479 FILE ADISCTI  
51 FILE ADISINSIGHT  
14 FILE ADISNEWS  
2 FILE AGRICOLA  
1 FILE ANABSTR  
3 FILE ANTE  
1 FILE AQUASCI  
7 FILE BIOBUSINESS  
4 FILE BIOCOMMERCE  
21 FILE BIOENG  
792 FILE BIOSIS  
11 FILE BIOTECHABS  
11 FILE BIOTECHDS  
115 FILE BIOTECHNO  
13 FILE CABA  
201 FILE CANCERLIT  
260 FILE CAPLUS  
13 FILE CEN  
2 FILE CONFSCI  
44 FILE DISSABS  
48 FILE DDFU  
18 FILE IMSDRUGNEWS  
105 FILE DRUGU  
38 FILE IMSRESEARCH  
3 FILE EMBAL  
452 FILE EMBASE  
109 FILE ESBIODBASE  
89 FILE FEDRIP  
36 FILE IFIPAT  
16 FILE JICST-EPLUS  
29 FILE LIFESCI  
319 FILE MEDLINE  
2 FILE NTIS  
199 FILE PASCAL  
7 FILE PHAR  
5 FILE PHARMAML  
35 FILE PHIN  
382 FILE PROMT  
8 FILE PROUSDDR  
222 FILE SCISEARCH  
1 FILE SYNTHLINE  
439 FILE TOXCENTER  
3451 FILE USPATFULL  
343 FILE USPAT2  
23 FILE WPIDS  
23 FILE WPINDEX

L1 QUE (PACLITAXEL OR TAXANE) AND (DESIGN OR MODEL OR MODELING) AN

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SEA (PACLITAXEL OR TAXANE) AND (DESIGN OR (MOLECULAR (W) MODEL  
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473 FILE ADISCTI  
 5 FILE ADISINSIGHT  
 2 FILE ADISNEWS  
 1 FILE ANABSTR  
 1 FILE ANTE  
 1 FILE AQUASCI  
 4 FILE BIOBUSINESS  
 4 FILE BIOCOMMERCE  
 2 FILE BIOENG  
 127 FILE BIOSIS  
 3 FILE BIOTECHABS  
 3 FILE BIOTECHDS  
 33 FILE BIOTECHNO  
 2 FILE CABA  
 56 FILE CANCERLIT  
 89 FILE CAPLUS  
 12 FILE CEN  
 1 FILE CONFSCI  
 16 FILE DISSABS  
 15 FILE DDFU  
 3 FILE IMSDRUGNEWS  
 27 FILE DRUGU  
 1 FILE EMBAL  
 155 FILE EMBASE  
 31 FILE ESBIODBASE  
 49 FILE FEDRIP  
 6 FILE IFIPAT  
 5 FILE JICST-EPLUS  
 2 FILE LIFESCI  
 90 FILE MEDLINE  
 64 FILE PASCAL  
 16 FILE PHIN  
 224 FILE PROMT  
 65 FILE SCISEARCH  
 1 FILE SYNTHLINE  
 160 FILE TOXCENTER  
 2167 FILE USPATFULL  
 180 FILE USPAT2  
 7 FILE WPIDS  
 7 FILE WPINDEX

L2 QUE (PACLITAXEL OR TAXANE) AND (DESIGN OR (MOLECULAR (W) MODEL

FILE 'MEDLINE, EMBASE, BIOSIS, CAPLUS' ENTERED AT 08:30:31 ON 15 OCT 2004

L3 461 S L2  
 L4 343 DUP REM L3 (118 DUPLICATES REMOVED)  
 L5 343 FOCUS L4 1-

=> log y

COST IN U.S. DOLLARS	SINCE FILE ENTRY	TOTAL SESSION
FULL ESTIMATED COST	191.85	199.47

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE ENTRY	TOTAL SESSION
CA SUBSCRIBER PRICE	-21.00	-21.00

STN INTERN

L5 ANSWER 38 OF 343 BIOSIS COPYRIGHT (c) 2004 The Thomson Corporation. on  
Full Text

STN

AN 2004:245361 BIOSIS

DN PREV200400240212

TI Synthesis, **modeling**, and anti-tubulin activity of a D-seco **paclitaxel**  
analogue.

AU Barboni, Luciano [Reprint Author]; Giarlo, Guido; Ricciutelli, Massimo;  
Ballini, Roberto; Georg, Gunda I.; VanderVelde, David G.; Himes, Richard  
H.; Wang, Minmin; Lakdawala, Ami; Snyder, James P.

CS Dipartimento di Scienze Chimiche, Universita di Camerino, via S. Agostino  
1, 62032, Camerino (MC), Italy  
[georg@ku.edu](mailto:georg@ku.edu)

SO Organic Letters, (February 19 2004) Vol. 6, No. 4, pp. 461-464. print.  
ISSN: 1523-7060 (ISSN print).

DT Article

LA English

ED Entered STN: 6 May 2004

Last Updated on STN: 6 May 2004

AB We have previously described a model of **paclitaxel**-microtubule binding  
that led to the prediction that analogues of **paclitaxel** lacking any D  
ring could stabilize microtubules as well as **paclitaxel** if the  
substituent present at C4 did not have unfavorable steric interactions  
with the binding pocket. We report the synthesis of a 4-methyl  
**paclitaxel** analogue, compound 1, which bears this prediction out.  
Compound 1 is as potent as **paclitaxel** at microtubule stabilization in  
vitro; however, it has only about one-four-hundredth the cytotoxicity of  
**paclitaxel**.

AN 2002397778 EMBASE  
TI Overcoming multidrug resistance in **taxane** chemotherapy.  
AU Geney R.; Ungureanu I.M.; Li D.; Ojima I.  
CS Dr. I. Ojima, Department of Chemistry, State Univ. of NY at Stony Brook,  
Stony Brook, NY 11794-3400, United States. [IOJIMA@notes.cc.sunysb.edu](mailto:IOJIMA@notes.cc.sunysb.edu)  
SO Clinical Chemistry and Laboratory Medicine, (2002) 40/9 (918-925).  
Refs: 41  
ISSN: 1434-6621 CODEN: CCLMFW  
CY Germany  
DT Journal; General Review  
FS 016 Cancer  
030 Pharmacology  
037 Drug Literature Index  
038 Adverse Reactions Titles  
LA English  
SL English  
AB **Paclitaxel** (Taxol®) and docetaxel (Taxotere®) are currently two  
of the most important anticancer drugs in cancer chemotherapy. However,  
clinical treatment with these **taxane** agents often encounters undesirable  
side effects and multidrug resistance (MDR) caused by overexpression of  
P-glycoprotein (Pgp). Photoaffinity labeling of Pgp using photoreactive  
radiolabeled **paclitaxel** analogs along with molecular **modeling** has  
revealed a unique binding region for **paclitaxel** on the C-terminal half  
of Pgp. Highly efficient **taxane**-based MDR reversal agents (TRAs) have  
been developed. Extensive structure-activity relationship (SAR) studies  
have led to the development of new generation **taxanes** that possess 2-3  
orders of magnitude higher potencies against human cancer cell lines  
expressing the MDR phenotype. One of these **taxanes**, SB-T-110131  
(IDN5109, BAY59-8862), exhibits excellent activity against a variety of  
drug-sensitive and drug-resistant cancer cell lines as well as human tumor  
xenografts in mice. This **taxane** is orally active with excellent  
bioavailability, and is currently undergoing phase II human clinical  
trials. Novel **taxane**-antibody immunoconjugates have shown very promising  
results for tumor-specific delivery and release of an extremely cytotoxic  
**taxane**, wherein epidermal growth factor receptor is used as the specific  
antigen on the tumor surface of human squamous cancer xenograft in SCID  
mice.

TI Medicinal chemistry and chemical biology of new generation **taxane**  
antitumor agents

AU Ojima, Iwao; Geney, Raphael; Ungureanu, Ioana Maria; Li, Dansu  
CS Chemistry Department, State University of New York at Stony Brook, Stony  
Brook, NY, 11794-3400, USA  
SO IUBMB Life (2002), 53(4,5), 269-274  
CODEN: IULIF8; ISSN: 1521-6543  
PB Taylor & Francis Inc.  
DT Journal; General Review  
LA English

AB A review with refs. P-glycoprotein (P-GP)-based multidrug resistance  
(MDR) and undesirable side effects are significant drawbacks to the clin.  
use of **paclitaxel** and docetaxel. Extensive SAR studies of **taxanes** in  
these labs. led to the discovery of new generation **taxanes** that are  
highly active against not only drug-sensitive but also drug-resistant  
human cancer cell lines as well as tumor xenografts in mice. One of these  
second generation **taxanes**, SB-T-110131 (IDN5109), exhibited excellent  
pharmacol. profile in the preclin. studies and has been selected for clin.  
development (recoded as Bay 59-8862), which is currently in the phase II  
clin. trials. Bay 59-8862 is orally active with high bioavailability,  
showing excellent activity against a variety of drug-resistant tumors.  
"Advanced second generation **taxanes**" show essentially no difference in  
cytotoxicity against drug-resistant and drug-sensitive cell lines,  
virtually overcoming MDR. Photoaffinity labeling of P-GP using  
photoreactive radiolabeled **paclitaxel** analogs has disclosed the  
**paclitaxel**-binding domain of P-GP. Highly efficient **taxane**-based MDR  
reversal agents (TRAs) have also been developed, which can recover the  
cytotoxicity of **paclitaxel** to practically the original level against  
**paclitaxel**-resistant MDR expressing cancer cells. Highly promising  
results have emerged from the study of **taxane**-monoclonal antibody (MAb)  
immunoconjugates, which have been proved to specifically deliver extremely  
cytotoxic agents to tumor in an animal model.

RE.CNT 33 THERE ARE 33 CITED REFERENCES AVAILABLE FOR THIS RECORD  
ALL CITATIONS AVAILABLE IN THE RE FORMAT